

Claims

Sub 21
1. An extended release pharmaceutical active formulation comprising:
pharmaceutical active; and
an encasement coat in the form of one or more layers of pH sensitive polymeric film encasing
said pharmaceutical active; wherein said polymeric film is soluble in a pH of about above
5.0.

2. The formulation of claim 1, wherein said pharmaceutical active is present in an
amount of about 5-95% by weight.

3. The formulation of claim 2, wherein said pharmaceutical active is provided as a
capsule, tablet or pellet.

4. The formulation of claim 3, wherein said capsule, tablet or pellet of pharmaceutical
active additionally comprises an aid selected from the group consisting of a pharmaceutical
compression aid, a pharmaceutical extrusion aid and mixtures thereof.

Sub 22
5. The formulation of claim 4, wherein said pharmaceutical compression aid is selected
from the group consisting of microcrystalline cellulose, lactose, cellulose, dibasic calcium
phosphate dihydrate, calcium sulfite dihydrate, tricalcium phosphate and compressible sugar.

Sub C
6. The formulation of claim 5, wherein said compression aid is present in an amount of
up to about 60% by weight. *relative to what? whole tablet w/o coat*

7. The formulation of claim 4, wherein said extrusion aid is present in an amount of up
to about 50% by weight.

Sub 23
8. The formulation of claim 4, wherein said formulation additionally comprises
excipients, lubricants, binders or glidants.
21, 24, 25, 28, 29 *15 TM*

9. The formulation of claim 1, wherein said polymeric film is a polymer selected from
the group consisting of cellulose esters, polyvinyl acetate phthalate, methacrylic acid
copolymer type A, methacrylic acid copolymer type B, methacrylic acid copolymer type C.

112 *112* *112*

and any mixtures thereof.

10. The formulation of claim 9, wherein said polymer is present in an amount of about 5-55% by weight.

11. The formulation of claim 1, wherein said polymeric film comprises shellac or zein.

12. The formulation of claim 1, wherein said polymeric film further comprises an agent selected from the group consisting of plasticizers, antitacking agents, colorants and mixtures thereof.

13. The formulation of claim 12, wherein said plasticizer is polyethylene glycol.

14. The formulation of claim 13, wherein said anti-tacking agent is talc.

15. The formulation of claim 1, wherein said pharmaceutical active is selected from the group consisting of risedronate, alendronate, riluzole, sulfonylureas including glyburide, chlorpropamide, tolbutamide, glimepiride, acarbose (Precose)TM, alglucerase (Ceredase)TM, glimepiride (Amaryl)TM, miglitol (Glyset)TM, nateglinide (Starlix)TM, pimagidine, pioglitazone, (Actos)TM, pramlintide, repaglinide (Prandin)TM, rosiglitazone (Avandia)TM, troglitazone (Rezulin)TM, hypoglycemic benzenesulfonamido pyrimidines, buformin, phenformin and 1,2-Biguanides.

16. The formulation of claim 1, wherein said pharmaceutical active is selected from the group consisting of bioactive peptides, antitumor agents, antibiotics, antipyretic analgesic antiinflammatory agents, antitussive expectorants, sedatives, muscle relaxants, antiepileptics, antiulcer agents, antidepressants, anti-allergic agents, cardiotonics, antiarrhythmic agents, vasodilators, hypotensive diuretics, anticoagulants, hemolytics, antituberculosis agents, hormones, narcotic antagonists, bone resorption suppressors and angiogenesis suppressors.

17. An extended release pharmaceutical active formulation comprising:

a capsule, tablet, pellet or bead of pharmaceutical active;
an encasement coat in the form of one or more layers of a pH sensitive polymeric film encasing said capsule, tablet, pellet or bead; wherein said polymeric film is soluble above a

pH of about 5.0.

- Sub a6*
18. The formulation of claim 15, wherein said capsule, tablet, pellet or bead comprises:
 about 5-95% by weight pharmaceutical active;
 about 0-60% by weight pharmaceutical compression aid; and
 about 0-50% by weight pharmaceutical extrusion aid.
19. The formulation of claim 16, wherein said encasement coat comprises:
 about 5-55% by weight polymer; and
 about 0.5-30% by weight plasticizer.
- Sub a7*
20. The formulation of claim 16, wherein said compression aid is selected from the group consisting of microcrystalline cellulose, lactose, cellulose, dibasic calcium phosphate dihydrate, calcium sulfite dihydrate, tricalcium phosphate and compressible sugar.
21. The formulation of claim 17, wherein said polymer is selected from the group consisting of cellulose esters, polyvinyl acetate phthalate, methacrylic acid copolymer type A, methacrylic acid copolymer type B, methacrylic acid copolymer type C and any mixtures thereof. *112*
- Sub C1*
22. The formulation of claim 15, wherein said polymeric film further comprises an agent selected from the group consisting of plasticizers, antitacking agents, colorants and mixtures thereof.
23. An extended release pharmaceutical active formulation comprising:
 a capsule, tablet, pellet or bead of pharmaceutical active comprising
 about 5-95% by weight pharmaceutical active;
 about 0-60% by weight pharmaceutical compression aid; and
 about 0-50% by weight pharmaceutical extrusion aid; and
 an encasement coat in the form of one or more layers of a pH sensitive polymeric film encasing said capsule, tablet, pellet or bead, said encasement coat comprising
 about 5-55% by weight polymer; and
 about 0.5-30% by weight plasticizer;
 wherein said polymeric film is soluble above a pH of about 5.0.
- cellulose, lactose, CaPO₄, Ca sulfite, sugar*
cellulose, phthalate, methacryl
- Sub a8*

Sub C
24. The formulation of claim 1, wherein greater than 80% of said pharmaceutical active is released in one hour when tested in a USP type 2 apparatus at 100 rpm in 900ml degassed water and 37°C. 112

Sub B
25. The formulation of claim 3, wherein less than about 20% of the pharmaceutical active is released in one hour when tested in a USP type 2 apparatus at 75 rpm in 900ml simulated gastric fluid (pH 1.2 phosphate buffer) and 37°C and greater than 80% of the pharmaceutical active is released in one hour when tested in a USP type 2 apparatus at 75 rpm in 900ml simulated intestinal fluid (pH 7.5 phosphate buffer) and 37°C.

26. The formulation of claim 3, wherein the tablet or pellet is made by direct compression.

Sub C
27. The formulation of claim 15, wherein the release of the pharmaceutical active exhibits a lag phase (time) and after which release is extended over 12 hours or 24 hours after administration.

28. The formulation of claim 1, wherein the capsule, tablet, pellet or bead demonstrates extended release characteristics of greater than 4 hours when tested in a USP type 2 apparatus at 100 rpm in 900ml degassed water and 37°C. 112

Sub B
29. The formulation of claim 3, wherein said capsule, tablet, pellet or bead demonstrates extended release characteristics of greater than 4 hours when tested in a USP type 2 apparatus at 75 rpm in 900ml simulated gastric fluid (pH 1.2 phosphate buffer) and 37°C and demonstrates extended release characteristics of greater than 4 hours when tested in a USP type 2 apparatus at 75 rpm in 900ml simulated intestinal fluid (pH 7.5 phosphate buffer) and 37°C. 112

Sub C
30. The formulation of claim 21, wherein pharmaceutical active release exhibits a lag phase (time) after which release is extended over 12 hours or 24 hours when administered to humans or animals in the presence of food.

Sub A
31. A method for making an extended release pharmaceutical active formulation

comprising:

compressing a pharmaceutical active into tablets, pellets or beads;
 encasing said tablets, pellets or beads in an encasement coat in the form of one or more
 layers of a pH sensitive polymeric film, said encasement coat comprising
 about 5-55% by weight polymer; and
 about 0.5-30% by weight plasticizer;
 wherein said polymeric film is soluble above a pH of about 5.0.

32. The method of claim 29, wherein said pharmaceutical active is admixed with about 0-60% by weight pharmaceutical compression aid and/or about 0-50% by weight pharmaceutical extrusion aid.

add a¹⁰

add

C2

sub a
contd

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BC

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